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NEWS 2	AUG 10	Time limit for inactive STN sessions doubles to 40 minutes
NEWS 3	AUG 18	COMPENDEX indexing changed for the Corporate Source (CS) field
NEWS 4	AUG 24	ENCOMPLIT/ENCOMPLIT2 reloaded and enhanced
NEWS 5	AUG 24	CA/CAplus enhanced with legal status information for U.S. patents
NEWS 6	SEP 09	50 Millionth Unique Chemical Substance Recorded in CAS REGISTRY
NEWS 7	SEP 11	WPIDS, WPINDEX, and WPIX now include Japanese FTERM thesaurus
NEWS 8	OCT 21	Derwent World Patents Index Coverage of Indian and Taiwanese Content Expanded
NEWS 9	OCT 21	Derwent World Patents Index enhanced with human translated claims for Chinese Applications and Utility Models
NEWS 10	NOV 23	Addition of SCAN format to selected STN databases
NEWS 11	NOV 23	Annual Reload of IFI Databases
NEWS 12	DEC 01	FRFULL Content and Search Enhancements
NEWS 13	DEC 01	DGENE, USGENE, and PCTGEN: new percent identity feature for sorting BLAST answer sets
NEWS 14	DEC 02	Derwent World Patent Index: Japanese FI-TERM thesaurus added
NEWS 15	DEC 02	PCTGEN enhanced with patent family and legal status display data from INPADOCDB
NEWS 16	DEC 02	USGENE: Enhanced coverage of bibliographic and sequence information
NEWS 17	DEC 21	New Indicator Identifies Multiple Basic Patent Records Containing Equivalent Chemical Indexing in CA/CAplus
NEWS 18	JAN 12	Match STN Content and Features to Your Information Needs, Quickly and Conveniently
NEWS 19	JAN 25	Annual Reload of MEDLINE database
NEWS 20	FEB 16	STN Express Maintenance Release, Version 8.4.2, Is Now Available for Download
NEWS 21	FEB 16	Derwent World Patents Index (DWPI) Revises Indexing of Author Abstracts
NEWS 22	FEB 16	New FASTA Display Formats Added to USGENE and PCTGEN
NEWS 23	FEB 16	INPADOCDB and INPAFAMDB Enriched with New Content and Features

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 NEWS 24  FEB 16  INSPEC Adding Its Own IPC codes and Author's E-mail
                  Addresses

 NEWS EXPRESS FEBRUARY 15 10 CURRENT WINDOWS VERSION IS V8.4.2,
              AND CURRENT DISCOVER FILE IS DATED 15 JANUARY 2010.

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FILE 'HOME' ENTERED AT 10:42:36 ON 22 FEB 2010

=>
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THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE
Do you want to switch to the Registry File?
Choice (Y/n):
Switching to the Registry File...
Some commands only work in certain files.  For example, the EXPAND
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index.  Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of
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=> FILE REGISTRY

COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                       ENTRY    SESSION
FULL ESTIMATED COST                                     0.22       0.22

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DICTIONARY FILE UPDATES:  21 FEB 2010  HIGHEST RN 1206966-88-2

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TSCA INFORMATION NOW CURRENT THROUGH June 26, 2009.

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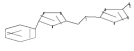
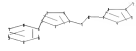




chain nodes :
17 18 21
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16
chain bonds :
2-15 5-17 7-18 9-21 17-18
ring bonds :
1-2 1-5 2-3 3-4 4-5 6-7 6-10 7-8 8-9 9-10 11-12 11-16 12-13 13-14
14-15 15-16
exact/norm bonds :
1-2 1-5 4-5 6-7 6-10 7-8 7-18 8-9 9-10 9-21 17-18
exact bonds :
2-3 2-15 3-4 5-17
normalized bonds :
11-12 11-16 12-13 13-14 14-15 15-16
isolated ring systems :
containing 1 : 6 : 11 :

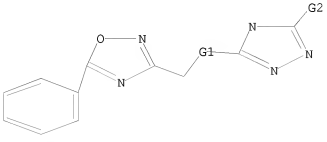
G1:O,N,CH2

G2:Hy,Ph

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1 STR



G1 O,N,CH2
G2 Hy,Ph

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 10:43:22 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 553 TO ITERATE

100.0% PROCESSED 553 ITERATIONS 38 ANSWERS
SEARCH TIME: 00.00.01

L3 38 SEA SSS FUL L1

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=> FIL HCAPLUS
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                      ENTRY    SESSION
FULL ESTIMATED COST                                  191.54     191.76

FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010
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FILE COVERS 1907 - 22 Feb 2010  VOL 152 ISS 9
FILE LAST UPDATED: 21 Feb 2010  (20100221/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED:  Dec 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE:  Dec 2009

HCAplus now includes complete International Patent Classification (IPC)
reclassification data for the third quarter of 2009.

CAS Information Use Policies apply and are available at:

http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate
substance identification.

=> s l3
L4            6 L3

=> s l4 and py<=2004
      25157360 PY<=2004
L5            1 L4 AND PY<=2004

=> d l4 ibib abs hitstr tot

L4   ANSWER 1 OF 6  HCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2009:524220  HCAPLUS
DOCUMENT NUMBER:          150:494877
TITLE:                    Preparation of amino 1,2,4-triazole derivatives as
                          modulators of mGluR5
INVENTOR(S):              Isaac, Methvin; Waallberg, Andreas
PATENT ASSIGNEE(S):       Astrazeneca AB, Swed.
SOURCE:                   PCT Int. Appl., 71pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
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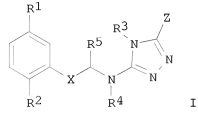
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009054794	A1	20090430	WO 2008-SE51197	20081023
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090111821	A1	20090430	US 2008-258114	20081024

PRIORITY APPLN. INFO.: US 2007-982956P P 20071026
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 150:494877
GI



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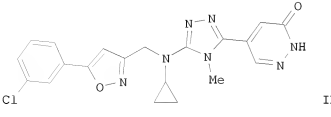
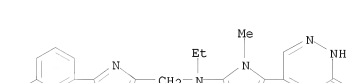


II

AB The title compds. I [R1 = Me, halo, CN; R2 = H or F; R3, R4 = alkyl, cyclopropyl; R5 = H, alkyl, cyclopropyl; X = isoxazole, triazole, tetrazole, etc.; Z = (un)substituted pyrimidinyl, pyrazinyl, pyridazinyl, etc.], useful as modulators of mGluR5, were prepared E.g., a multi-step synthesis of II, starting from [5-(3-chlorophenyl)isoxazol-3-yl]methyl methanesulfonate with cyclopropylamine, was given. II showed IC50 of 41 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in combination with other therapeutic agent, are disclosed.

IT 1147756-42-0P 1147756-45-3P 1147756-48-6P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted 1,2,4-triazolamines as modulators of mGluR5)
RN 1147756-42-0 HCAPLUS
CN 3(2H)-Pyridazinone, 5-[5-[[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methyl]ethylamino]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 1147756-45-3 HCAPLUS
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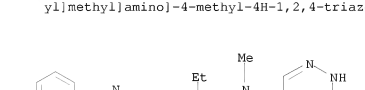
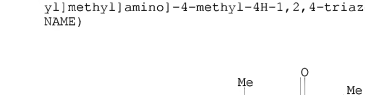


RN 1147756-48-6 HCAPLUS
CN 2(1H)-Pyridinone, 4-[5-[ethyl[[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]methyl]amino]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2009:524183 HCAPLUS
DOCUMENT NUMBER: 150:472725
TITLE: Preparation of 1,2,4-triazole aryl N-oxides derivatives as modulators of mGluR5
INVENTOR(S): Granberg, Kenneth; Waallberg, Andreas
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 51pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009054786	A1	20090430	WO 2008-SE51189	20081023
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, ST, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090111854	A1	20090430	US 2008-258151	20081024

PRIORITY APPLN. INFO.: US 2007-982939P P 20071026
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 150:472725; MARPAT 150:472725
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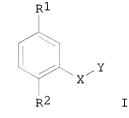

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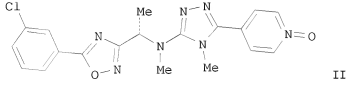
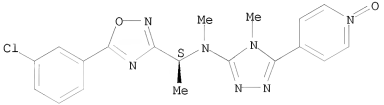


II

AB The title compds. I [R1 = Me, halo, CN; R2 = H or F; X = isoxazole, triazole, tetrazole, etc.; Y = triazolylpiperidinyl, triazolylpyrrolidinyl, triazolylaminoalkyl, etc.], useful as modulators of mGluR5, were prepared Thus, treating N-{(1S)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethyl}-N,4-dimethyl-5-(pyridin-4-yl)-4H-1,2,4-triazol-3-amine with hydrogen peroxide afforded 58% (1S)-II which showed IC50 of 81 nM against human mGluR5d in FLIPR assay. Pharmaceutical compns. comprising compound I, alone or in

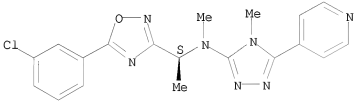
combination with other therapeutic agent, are disclosed.
IT 1147105-70-1P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)
RN 1147105-70-1 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(1-oxido-4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.



IT 870974-34-8
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of 1,2,4-triazole aryl N-oxides derivs. as modulators of mGluR5)
RN 870974-34-8 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2008:445018 HCAPLUS
DOCUMENT NUMBER: 148:449638
TITLE: Preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators
INVENTOR(S): Isaac, Methvin; Slassi, Abdelmalik; Edwards, Louise; Dove, Peter; Xin, Tao; Stefanac, Tomislav
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.
SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008041075	A1	20080410	WO 2007-IB2784	20070925
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AU 2007303889	A1	20080410	AU 2007-303889	20070925
CA 2665083	A1	20080410	CA 2007-2665083	20070925
US 20080125436	A1	20080529	US 2007-902784	20070925
EP 2079730	A1	20090722	EP 2007-804973	20070925
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IN 2009DN01752	A	20090515	IN 2009-DN1752	20090317
MX 2009003227	A	20090406	MX 2009-3227	20090325
KR 2009060328	A	20090611	KR 2009-706875	20090403
NO 2009001626	A	20090504	NO 2009-1626	20090423
CN 101547916	A	20090930	CN 2007-80045151	20090605
PRIORITY APPLN. INFO.:			US 2006-828325P P	20061005
			WO 2007-IB2784 W	20070925

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 148:449638
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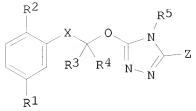

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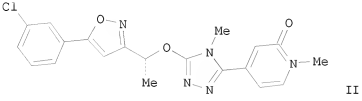


II

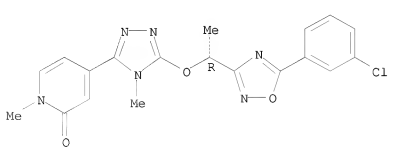
AB Title compds. I [R1 = Me, halo, or CN; R2 = H or F; R3 and R4 independently = H or alkyl; R5 = alkyl or cyclopropyl; X = oxazolyl, oxadiazolyl, or tetrazolyl; Z = (un)substituted heteroaryl], and their pharmaceutically acceptable salts, are prepared and disclosed as mGluR5 modulators. Thus, e.g., II was prepared by coupling of (1R)-1-[5-(3-chlorophenyl)isoxazol-3-yl]ethanol (preparation given) and 4-(5-methanesulfonyl-4-methyl-4H-[1,2,4]triazol-3-yl)-1-methyl-1H-pyridin-2-one (preparation given). Select I were evaluated in FLIPR mGluR5 assays, e.g., II demonstrated an IC50 value of 19 nM.

IT 1018680-65-3P 1018680-71-1P 1018680-74-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)

RN 1018680-65-3 HCAPLUS

CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-methyl- (CA INDEX NAME)

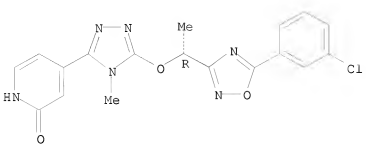
Absolute stereochemistry.



RN 1018680-71-1 HCAPLUS

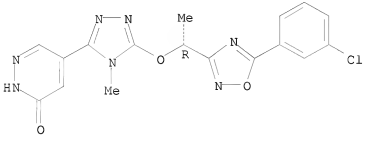
CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



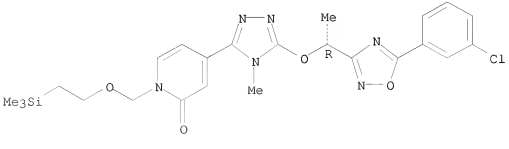
RN 1018680-74-4 HCAPLUS
CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.



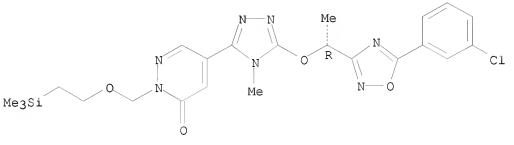
IT 1018681-07-6P 1018681-09-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of substituted phenylheteroarylalkoxytriazoles for use as mGluR5 modulators)
RN 1018681-07-6 HCAPLUS
CN 2(1H)-Pyridinone, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-1-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



RN 1018681-09-8 HCAPLUS
CN 3(2H)-Pyridazinone, 5-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]-2-[[2-(trimethylsilyl)ethoxy]methyl]- (CA INDEX NAME)

Absolute stereochemistry.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD (1 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1292048 HCAPLUS
DOCUMENT NUMBER: 144:36353
TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.
SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050272779	A1	20051208	US 2005-53752	20050209
US 7585881	B2	20090908		
AU 2005270208	A1	20060209	AU 2005-270208	20050215
CA 2555566	A1	20060209	CA 2005-2555566	20050215
WO 2006014185	A1	20060209	WO 2005-US4774	20050215

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EP 1723144	A1	20061122	EP 2005-802855		20050215
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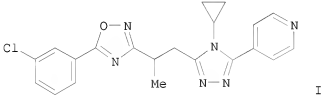
			US 2005-53752	A3	20050209
			CN 2005-80004306	A3	20050215
			WO 2005-US4774	W	20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

GI



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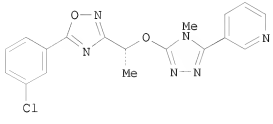


II

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists.

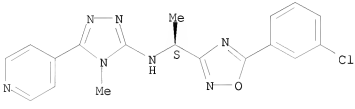
For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

IT 870974-57-5P
RL: BPN (Biosynthetic preparation); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-57-5 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-α-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry.

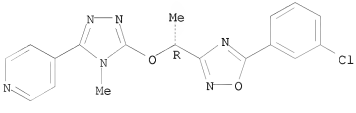


IT 870974-18-8P 870974-34-8P 870974-43-9P
871028-86-3P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)

RN 870974-18-8 HCAPLUS

CN Pyridine, 4-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

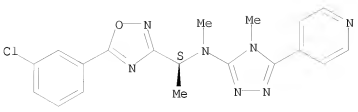
Absolute stereochemistry. Rotation (-).



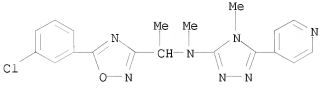
RN 870974-34-8 HCAPLUS

CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αS)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

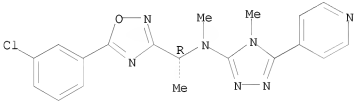


RN 870974-43-9 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 871028-86-3 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-, (αR)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT 660423-10-9P 870973-98-1P 870973-99-2P
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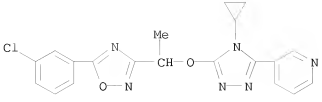
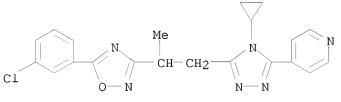
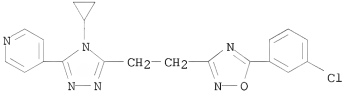
870974-26-8P 870974-27-9P 870974-40-6P
870974-41-7P 870974-54-2P 870974-55-3P
870974-56-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)
RN 660423-10-9 HCAPLUS
CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



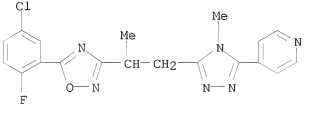
RN 870973-98-1 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



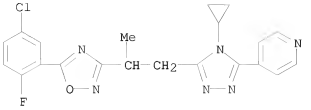
RN 870973-99-2 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



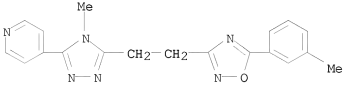
RN 870974-01-9 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



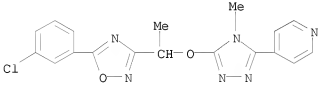
RN 870974-02-0 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-03-1 HCAPLUS
CN Pyridine, 4-[4-methyl-5-[2-[5-(3-methylphenyl)-1,2,4-oxadiazol-3-yl]ethyl]-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

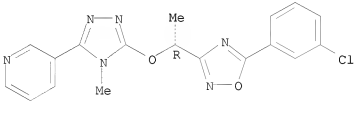


RN 870974-12-2 HCAPLUS
CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

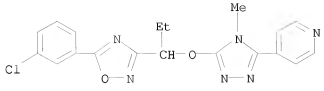


RN 870974-14-4 HCAPLUS
CN Pyridine, 3-[5-[(1R)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry.

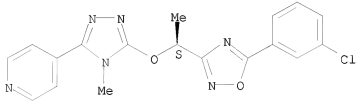


RN 870974-17-7 HCAPLUS
CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870974-19-9 HCAPLUS
CN Pyridine, 4-[5-[(1S)-1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



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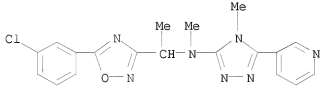
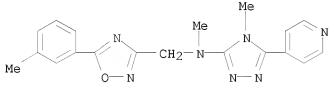
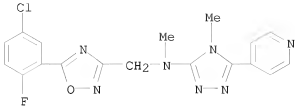
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α-dimethyl-N-[4-methyl-5-(3-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



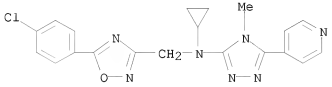
RN 870974-25-7 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, N-methyl-5-(3-methylphenyl)-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



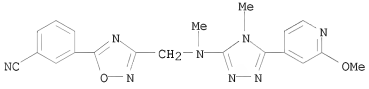
RN 870974-26-8 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(5-chloro-2-fluorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



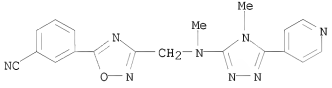
RN 870974-27-9 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(4-chlorophenyl)-N-cyclopropyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



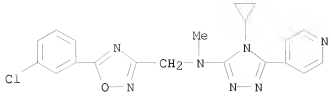
RN 870974-40-6 HCAPLUS
CN Benzonitrile, 3-[3-[[[5-(2-methoxy-4-pyridinyl)-4-methyl-4H-1,2,4-triazol-3-yl]methylamino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



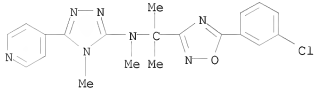
RN 870974-41-7 HCAPLUS
CN Benzonitrile, 3-[3-[[methyl[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]amino]methyl]-1,2,4-oxadiazol-5-yl]- (CA INDEX NAME)



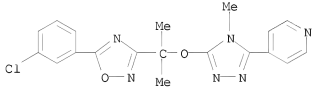
RN 870974-54-2 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-[4-cyclopropyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]-N-methyl- (CA INDEX NAME)



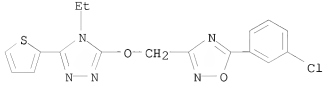
RN 870974-55-3 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N,α,α-trimethyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



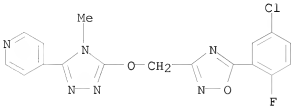
RN 870974-56-4 HCAPLUS
CN Pyridine, 4-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]-1-methylethoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



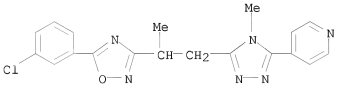
IT 660422-23-1P, 5-(3-Chlorophenyl)-3-[[[4-ethyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]oxy]methyl]-[1,2,4]oxadiazole
660422-24-2P 660422-83-3P 660422-84-4P
870973-27-6P
RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of heteropolycyclic compds. for treating and/or preventing mGluR5 receptor-mediated disorders)
RN 660422-23-1 HCAPLUS
CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



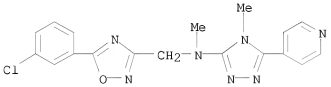
RN 660422-24-2 HCAPLUS
CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



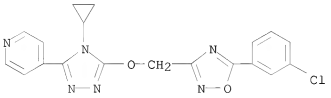
RN 660422-83-3 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660422-84-4 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 870973-27-6 HCAPLUS
CN Pyridine, 4-[5-[[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



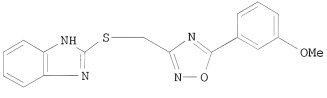
OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:888916 HCAPLUS
DOCUMENT NUMBER: 143:242011
TITLE: Heterocyclic compounds for the treatment of gastro-esophageal reflux disease
INVENTOR(S): Lehmann, Anders; Mattsson, Jan; Nilsson, Karolina
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; NPS Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 130 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005077345	A1	20050825	WO 2005-US336	20050107

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-541056P P 20040203
OTHER SOURCE(S): MARPAT 143:242011
GI

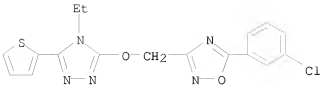


I

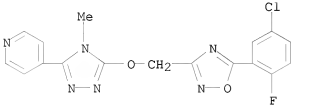
AB The present invention relates to the use of a heterocyclic compound such as I for the inhibition of transient lower esophageal sphincter relaxations and for the treatment of gastro-esophageal reflux disease.

IT 660422-23-1 660422-24-2 660422-83-3
660422-84-4 660423-10-9
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(heterocyclic compds. for the treatment of gastroesophageal reflux disease)

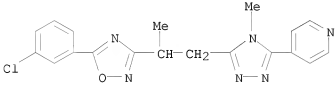
RN 660422-23-1 HCAPLUS
CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



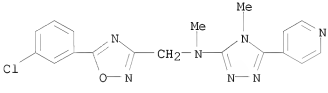
RN 660422-24-2 HCAPLUS
CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



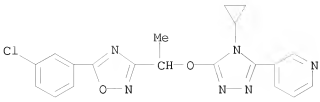
RN 660422-83-3 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660422-84-4 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660423-10-9 HCAPLUS
CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 5 THERE ARE 5 CAPLUS RECORDS THAT CITE THIS RECORD (5 CITINGS)
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2004:143126 HCAPLUS
DOCUMENT NUMBER: 140:199331
TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists
INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer
PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.
SOURCE: PCT Int. Appl., 318 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014881	A2	20040219	WO 2003-US24846	20030808
WO 2004014881	A3	20040527		
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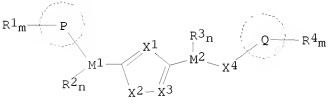
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2494987	A1	20040219	CA 2003-2494987	20030808
AU 2003259068	A1	20040225	AU 2003-259068	20030808
AU 2003259068	B2	20090702		
US 20040152699	A1	20040805	US 2003-637012	20030808
EP 1529045	A2	20050511	EP 2003-785036	20030808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003013265	A	20050705	BR 2003-13265	20030808
JP 2006503009	T	20060126	JP 2004-527872	20030808

CN 1894241	A	20070110	CN 2003-823845		20030808
NZ 538225	A	20080530	NZ 2003-538225		20030808
RU 2352568	C2	20090420	RU 2005-106844		20030808
ZA 2005000886	A	20060726	ZA 2005-886		20050131
IN 2005DN00486	A	20070119	IN 2005-DN486		20050208
MX 2005001594	A	20050920	MX 2005-1594		20050209
NO 2005001225	A	20050509	NO 2005-1225		20050309
US 20060122397	A1	20060608	US 2005-274611		20051114
US 7456200	B2	20081125			
PRIORITY APPLN. INFO.:			US 2002-402040P	P	20020809
			US 2003-637012	B3	20030808
			WO 2003-US24846	W	20030808

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:199331
GI



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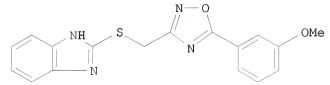


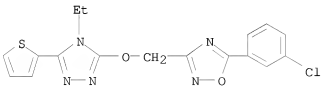
II

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5,

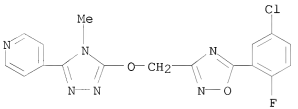
C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

IT 660422-23-1P 660422-24-2P 660422-83-3P
660422-84-4P 660423-10-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of five-membered heterocyclic compds. as mGluR5 receptor antagonists)

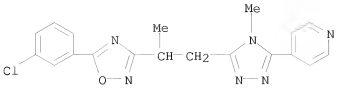
RN 660422-23-1 HCAPLUS
CN 1,2,4-Oxadiazole, 5-(3-chlorophenyl)-3-[[[4-ethyl-5-(2-thienyl)-4H-1,2,4-triazol-3-yl]oxy]methyl]- (CA INDEX NAME)



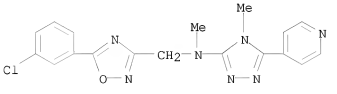
RN 660422-24-2 HCAPLUS
CN Pyridine, 4-[5-[[5-(5-chloro-2-fluorophenyl)-1,2,4-oxadiazol-3-yl]methoxy]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



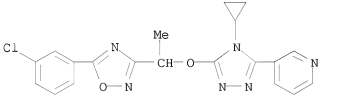
RN 660422-83-3 HCAPLUS
CN Pyridine, 4-[5-[2-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]propyl]-4-methyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660422-84-4 HCAPLUS
CN 1,2,4-Oxadiazole-3-methanamine, 5-(3-chlorophenyl)-N-methyl-N-[4-methyl-5-(4-pyridinyl)-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



RN 660423-10-9 HCAPLUS
CN Pyridine, 3-[5-[1-[5-(3-chlorophenyl)-1,2,4-oxadiazol-3-yl]ethoxy]-4-cyclopropyl-4H-1,2,4-triazol-3-yl]- (CA INDEX NAME)



OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L2               0 S L1
L3              38 S L1 SSS FULL

     FILE 'HCAPLUS' ENTERED AT 10:43:28 ON 22 FEB 2010
L4               6 S L3
L5               1 S L4 AND PY<=2004

=> s l4 and receptor mediated disorders
        847197 RECEPTOR
        781201 RECEPTORS
       1017645 RECEPTOR
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        256826 DISORDERS
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=> s l4 and mglur5 receptor mediated disorders
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        847197 RECEPTOR
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        606155 MEDIATED
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=> s l4 and mglur5 receptor
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L8           2 L4 AND MGLUR5 RECEPTOR

=> s l4 and disorders
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L5   ANSWER 1 OF 1  HCAPLUS  COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER:         2004:143126  HCAPLUS
DOCUMENT NUMBER:          140:199331
TITLE:                    Preparation of five-membered heterocyclic compounds as
                          mGluR5 receptor antagonists
INVENTOR(S):              Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora,
                          Jalaj; Edwards, Louise; Isaac, Methvin; Slassi,
                          Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.;
                          Kers, Annika; Malmberg, Johan; Oscarsson, Karin;
                          Gyback, Helena; Johansson, Martin; Minidis, Alexander;
                          Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer
PATENT ASSIGNEE(S):       Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.
SOURCE:                   PCT Int. Appl., 318 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:199331
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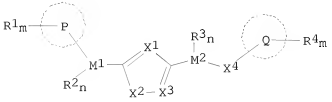

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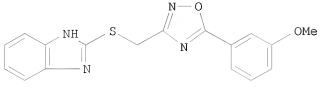

II

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl,

C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L6 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS
DOCUMENT NUMBER: 144:36353
TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.
SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050272779	A1	20051208	US 2005-53752	20050209
US 7585881	B2	20090908		
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CA 2555566	A1	20060209	CA 2005-2555566	20050215
WO 2006014185	A1	20060209	WO 2005-US4774	20050215
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353
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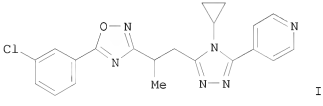

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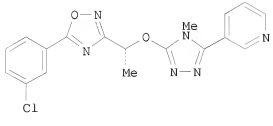

II

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

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L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN
ACCESSION NUMBER: 2005:1292048 HCAPLUS
DOCUMENT NUMBER: 144:36353
TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.
SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353
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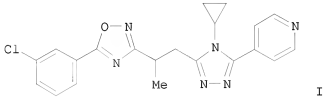

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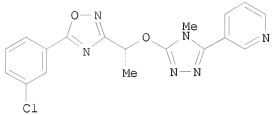

II

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

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L8 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER:	2005:1292048 HCAPLUS
DOCUMENT NUMBER:	144:36353
TITLE:	Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
INVENTOR(S):	Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
PATENT ASSIGNEE(S):	Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.

SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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JP 2007523168	T	20070816	JP 2006-554165	20050215
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US 20070179188	A1	20070802	US 2007-588702	20070313
US 20070293545	A1	20071220	US 2007-840954	20070818
US 20080015234	A1	20080117	US 2007-840952	20070818
US 20080015204	A1	20080117	US 2007-840955	20070818
US 20080045571	A1	20080221	US 2007-840953	20070818

PRIORITY APPLN. INFO.:

US 2004-608960P	P	20040218
US 2005-53752	A3	20050209
CN 2005-80004306	A3	20050215
WO 2005-US4774	W	20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353
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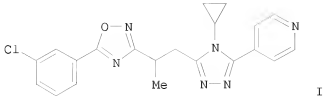

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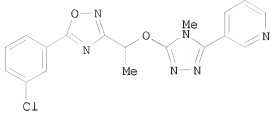

II

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L8 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014881	A2	20040219	WO 2003-US24846	20030808
WO 2004014881	A3	20040527		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN,
TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
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CA 2494987	A1	20040219	CA 2003-2494987		20030808
AU 2003259068	A1	20040225	AU 2003-259068		20030808
AU 2003259068	B2	20090702			
US 20040152699	A1	20040805	US 2003-637012		20030808
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BR 2003013265	A	20050705	BR 2003-13265		20030808
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CN 1894241	A	20070110	CN 2003-823845		20030808
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RU 2352568	C2	20090420	RU 2005-106844		20030808
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NO 2005001225	A	20050509	NO 2005-1225		20050309
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US 7456200	B2	20081125			
PRIORITY APPLN. INFO.:			US 2002-402040P	P	20020809
			US 2003-637012	B3	20030808
			WO 2003-US24846	W	20030808

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:199331
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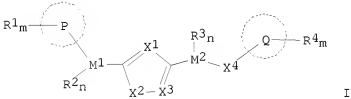

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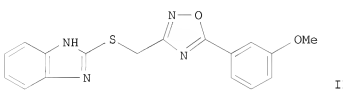

II

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of

preparation are claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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L9 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2005:1292048 HCAPLUS
DOCUMENT NUMBER: 144:36353
TITLE: Preparation of heteropolycyclic compounds and their use as metabotropic glutamate receptor antagonists
INVENTOR(S): Edwards, Louise; Isaac, Methvin; Johansson, Martin; Kers, Annika; Malmberg, Johan; McLeod, Donald; Mindis, Alexander; Staaf, Karin; Slassi, Abdelmalik; Stefanac, Tomislav; Stormann, Thomas; Wensbo, David; Xin, Tao; Arora, Jalaj
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Nps Pharmaceuticals Inc.
SOURCE: U.S. Pat. Appl. Publ., 175 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050272779	A1	20051208	US 2005-53752	20050209
US 7585881	B2	20090908		
AU 2005270208	A1	20060209	AU 2005-270208	20050215
CA 2555566	A1	20060209	CA 2005-2555566	20050215
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RU 2370495	C2	20091020	RU 2006-128446	20050215
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NO 2006003599	A	20061027	NO 2006-3599	20060808
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IN 2006DN04751	A	20070831	IN 2006-DN4751	20060818
US 20070179188	A1	20070802	US 2007-588702	20070313
US 20070293545	A1	20071220	US 2007-840954	20070818
US 20080015234	A1	20080117	US 2007-840952	20070818
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PRIORITY APPLN. INFO.:

US 2004-608960P	P	20040218
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CN 2005-80004306	A3	20050215
WO 2005-US4774	W	20050215

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 144:36353; MARPAT 144:36353

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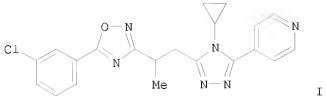

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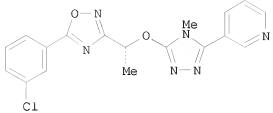

II

AB The present invention presents the syntheses of heteropolycyclic compds., e.g. I and II, for use as metabotropic glutamate receptor antagonists. For example, adding BuLi to 4-(4-cyclopropyl-5-methyl-4H-[1,2,4]triazol-3-yl)pyridine in THF at -78°C for 15 mins and then adding 3-(1-bromoethyl)-5-(3-chlorophenyl)-[1,2,4]oxadiazole in THF gave I. The compds. are designed for the prevention and/or treatment of mGluR5 receptor-mediated disorders.

OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD (7 CITINGS)

L9 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2010 ACS on STN

ACCESSION NUMBER: 2004:143126 HCAPLUS

DOCUMENT NUMBER: 140:199331

TITLE: Preparation of five-membered heterocyclic compounds as mGluR5 receptor antagonists

INVENTOR(S): Wensbo, David; Xin, Tao; Stefanac, Tomislav; Arora, Jalaj; Edwards, Louise; Isaac, Methvin; Slassi, Abdelmalik; Stormann, Thomas M.; McLeod, Donald A.; Kers, Annika; Malmberg, Johan; Oscarsson, Karin; Gyback, Helena; Johansson, Martin; Minidis, Alexander; Waldman, Mangus; Yngve, Ulrika; Osterwall, Christoffer

PATENT ASSIGNEE(S): Astra Zeneca Ab, Swed.; NPS Pharmaceuticals, Inc.

SOURCE: PCT Int. Appl., 318 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004014881	A2	20040219	WO 2003-US24846	20030808
WO 2004014881	A3	20040527		

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM,
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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AU 2003259068	A1	20040225	AU 2003-259068		20030808
AU 2003259068	B2	20090702			
US 20040152699	A1	20040805	US 2003-637012		20030808
EP 1529045	A2	20050511	EP 2003-785036		20030808
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BR 2003013265	A	20050705	BR 2003-13265		20030808
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CN 1894241	A	20070110	CN 2003-823845		20030808
NZ 538225	A	20080530	NZ 2003-538225		20030808
RU 2352568	C2	20090420	RU 2005-106844		20030808
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IN 2005DN00486	A	20070119	IN 2005-DN486		20050208
MX 2005001594	A	20050920	MX 2005-1594		20050209
NO 2005001225	A	20050509	NO 2005-1225		20050309
US 20060122397	A1	20060608	US 2005-274611		20051114
US 7456200	B2	20081125			
PRIORITY APPLN. INFO.:			US 2002-402040P	P	20020809
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ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
OTHER SOURCE(S): MARPAT 140:199331
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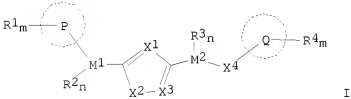

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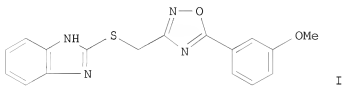

II

AB The present invention relates to five-membered heterocyclic compds. (shown as I; variables defined below; e.g. II), a process for their preparation and new intermediates prepared therein, pharmaceutical formulations containing said compds. and to the use of said compds. in therapy, e.g. neurol., psychiatric and chronic and acute pain disorders (no data). Typical IC50 values for mGluR5 receptor antagonist activity are ≤10 μM; no values for individual compds. are given. Methods of preparation are

claimed and example prepns. and/or characterization data are included for .apprx.800 examples of I and intermediates. For example, [3-[3-[[[4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazol-3-yl]sulfanyl]methyl][1,2,4]oxadiazol-5-yl]phenyl]carbamic acid tert-Bu ester was prepared in 79% yield by condensation of 4-methyl-5-(thiophen-2-yl)-4H-[1,2,4]triazole-3-thiol with [3-(3-chloromethyl-[1,2,4]oxadiazol-5-yl)phenyl]carbamic acid tert-Bu ester in MeCN in the presence of K2CO3. For I: P = H, C3-7alkyl or a 3- to 8-membered ring containing ≥1 atoms = C, N, O and S, which ring may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S; R1 = H, hydroxy, halo, nitro, C1-6-alkylhalo, OC1-6alkylhalo, C1-6alkyl, OC1-6alkyl, C2-6alkenyl, OC2-6alkenyl, C2-6alkynyl, OC2-6alkynyl, C0-6alkylC3-6cycloalkyl, etc. and a 5- or 6-membered ring containing ≥1 C, N, O and S, wherein said ring may be substituted by ≥1 A. M1 = a bond, C1-3alkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, C0-3alkyl(CO)NR5, C0-3alkyl(CO)NR5C0-3alkyl, C0-4-alkylNR5, C0-3alkylSC0-3alkyl, etc.; R2 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X1, X2 and X3 = CR, CO, N, NR, O and S; R = H, C0-3alkyl, halo, C0-3alkylOR5, C0-3-alkylNR5R6, C0-3alkyl(CO)OR5, C0-3alkylNR5R6 and C0-3alkylaryl; M2 = a bond, C1-3alkyl, C3-7cycloalkyl, C2-3alkenyl, C2-3alkynyl, C0-4alkyl(CO)C0-4alkyl, C0-3alkylOC0-3alkyl, etc.; R3 = H, hydroxy, C0-6alkylcyano, oxo, NR, NOR5, C1-4alkylhalo, halo, C1-4alkyl, etc. X4 = C0-4alkylR5, C0-4alkyl(NR5R6), C0-4-alkyl(NR5R6):N, NR5C0-4alkyl(NR5R6):N, NOC0-4alkyl, C1-4alkylhalo, C, O, SO, SO2 and S; Q is a 5- or 6-membered ring containing ≥1 C, N, O and S, which group may optionally be fused with a 5- or 6-membered ring containing ≥1 C, N, O and S and which fused ring may be substituted by ≥1 A. R4 = H, hydroxy, C0-6alkylcyano, oxo, NR5, NOR5, C1-4alkylhalo, halo, C1-4alkyl, OC1-4alkyl, OC0-6alkylaryl, etc. and a 5- or 6-membered ring containing ≥1 atoms = C, N, O or S, wherein said ring may be substituted by ≥1 A; R5, R6 = H, OH, C1-6alkyl, etc.; A = H, OH, O, halo, nitro, C0-6alkylcyano, etc.; m = 0-4; and n = 0-3; addnl. details are given in the claims.

OS.CITING REF COUNT: 13 THERE ARE 13 CAPLUS RECORDS THAT CITE THIS RECORD (20 CITINGS)

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COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
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DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-11.05	-11.05

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